

11/291216

\$%^STN;HighlightOn=;HighlightOff=;Version Version = STN Express 8.01a;  
=> s 16  
SAMPLE SEARCH INITIATED 13:06:05 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 8476 TO ITERATE

23.6% PROCESSED 2000 ITERATIONS 3 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 164002 TO 175038  
PROJECTED ANSWERS: 41 TO 467

L7 3 SEA SSS SAM L6

=> s 16 sss full  
FULL SEARCH INITIATED 13:06:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 169762 TO ITERATE

100.0% PROCESSED 169762 ITERATIONS 321 ANSWERS  
SEARCH TIME: 00.00.04

L8 321 SEA SSS FUL L6

=> save l8  
ENTER NAME OR (END):ten565066  
TEN565066 IS NOT A VALID SAVED NAME  
Enter the name you wish to use for the saved query,  
answer set, or L-number list. The name must:  
1. Begin with a letter,  
2. Have 1-12 characters,  
3. Contain only letters (A-Z) and numbers (0-9),  
4. End with /Q for a query (search profile,  
structure, or screen set), /A for an answer  
set, or /L for an L-number list.  
5. Not already be in use as a saved name,  
6. Not be END, SAV, SAVE, SAVED  
7. Not have the form of an L-number (Lnnn).  
ENTER NAME OR (END):ten565066/a  
ANSWER SET L8 HAS BEEN SAVED AS 'TEN565066/A'

|  |            |         |
|--|------------|---------|
| => file caplus                             |            |         |
| COST IN U.S. DOLLARS                       | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 168.26     | 472.36  |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | 0.00       | -12.75  |

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FILE LAST UPDATED: 8 Dec 2006 (20061208/ED)

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=> s l8

L9 14 L8

=> d l9 1-14 bib abs fhitr

L9 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:213224 CAPLUS

DN 144:254134

TI Preparation of fused tricyclic imidazobenzoxazines, imidazoquinolines, triazolobenzoxazines and their analogs for the treatment of psychotic disorders and related diseases

IN Bentley, Jonathan; Bergauer, Markus; Bertani, Barbara; Biagetti, Matteo; Borriello, Manuela; Bromidge, Steven Mark; Gianotti, Massimo; Granci, Enrica; Leslie, Colin Philip; Pasquarello, Alessandra; Zucchelli, Valeria

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 254 pp.

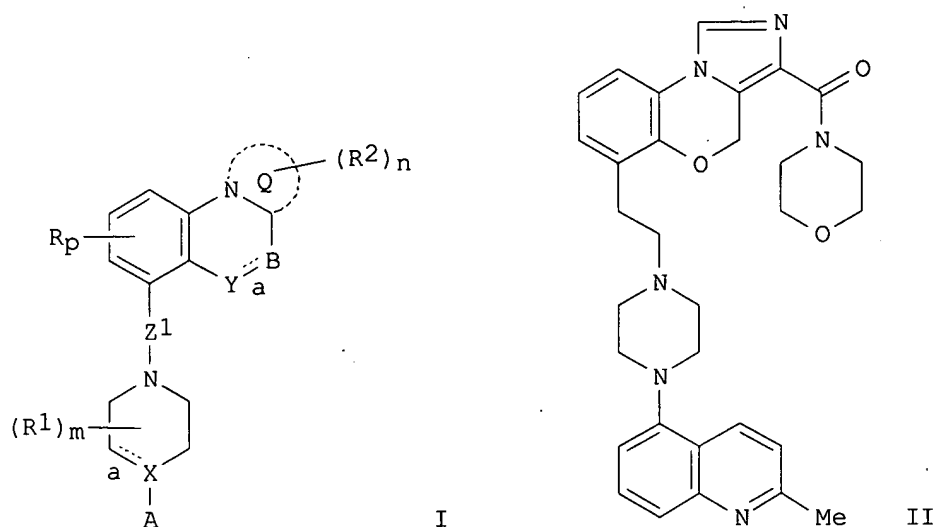
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2006024517   | A1   | 20060309 | WO 2005-EP9379  | 20050829 |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  |      |          |                 |          |
| PRAI | GB 2004-19315   | A    | 20040831 |                 |          |
|      | GB 2005-7386  | A    | 20050412 |                 |          |
|      | GB 2005-15010   | A    | 20050721 |                 |          |
| OS   | MARPAT 144:254134   |      |          |                 |          |
| GI   |   |      |          |                 |          |



AB Fused tricyclic compds. I [wherein a = single or double bond; ring Q = (un)substituted 5-membered heteroaryl or heterocyclyl; B = (un)substituted CH or CH<sub>2</sub>; Y = (un)substituted CH<sub>2</sub>, O, etc.; Z1 = ethylene, etc.; X = CR1 or N when a is a single bond; X = C when a is a double bond; A = (un)substituted indolyl, quinolyl, benzofuranyl, etc.; R = halo, alkyl, cyano, etc.; R1 = H, halo, alkyl, etc.; R2 = H, halo, hydroxy, etc. p = 0-2; m, n = 0-3] and salts or prodrugs thereof, which possess high affinity for 5-HT1 type receptors and/or are serotonin reuptake inhibitors, were prepared. For instance, imidazobenzoxazine carboxamide II was synthesized in 33% yield by condensation of the corresponding acid (preparation given) with morpholine in DMF in the presence of TBTU and DIPEA. In a functional potency assay, II had fpK<sub>i</sub> of 9.7 against 5-HT1A. Therefore, the invented compds. are useful for treating or preventing diseases or conditions mediated by modulation of 5-HT1 receptors and/or serotonin reuptake receptors, such as psychotic disorders.

IT 876921-77-6

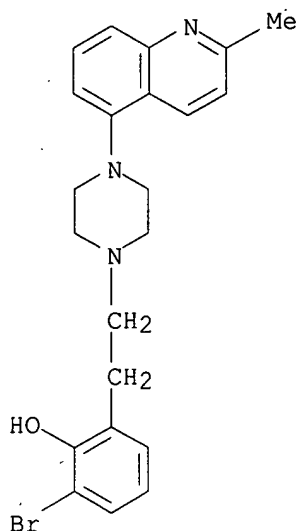
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of fused tricyclic imidazobenzoxazines, imidazoquinolines, triazolobenzoxazines and their analogs for treatment of psychotic disorders and related diseases)

RN 876921-77-6 CAPLUS

CN Phenol, 2-bromo-6-[2-[4-(2-methyl-5-quinoliny)-1-piperazinyl]ethyl]-  
(9CI) (CA INDEX NAME)

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RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:141036 CAPLUS

DN 142:240449

TI Preparation of quinolines and quinazolines as ligands for 5-HT<sub>1</sub> receptors  
and their use in the treatment of CNS disorders, in particular  
serotonin-related disorders

IN Bergauer, Markus; Bertani, Barbara; Biagetti, Matteo; Bromidge, Steven  
Mark; Falchi, Alessandro; Leslie, Colin Philip; Merlo, Giancarlo; Pizzi,  
Domenica Antonia; Rinaldi, Marilisa; Stasi, Luigi Piero; Tibasco, Jessica;  
Vong, Antonio Kuok Keong; Ward, Simon Edward

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---|------|----------|------------------|----------|
| PI | WO 2005014552   | A1   | 20050217 | WO 2004-EP8000   | 20040715 |
|    | W:  |      |          |                  |          |
|    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,     |      |          |                  |          |
|    | CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,     |      |          |                  |          |
|    | GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,     |      |          |                  |          |
|    | LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,     |      |          |                  |          |
|    | NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,     |      |          |                  |          |
|    | TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW      |      |          |                  |          |
|    | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, |      |          |                  |          |
|    | AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,     |      |          |                  |          |
|    | EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,     |      |          |                  |          |
|    | SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,     |      |          |                  |          |
|    | SN, TD, TG  |      |          |                  |          |
|    | AU 2004263268   | A1   | 20050217 | AU 2004-263268   | 20040715 |
|    | CA 2532452  | AA   | 20050217 | CA 2004-2532452  | 20040715 |
|    | EP 1646613  | A1   | 20060419 | EP 2004-763307   | 20040715 |
|    | R:  |      |          |                  |          |
|    | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,     |      |          |                  |          |
|    | IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR          |      |          |                  |          |
|    | BR 2004012695   | A    | 20061003 | BR 2004-12695    | 20040715 |
|    | CN 1852896  | A    | 20061025 | CN 2004-80027057 | 20040715 |
|    | US 2006229312   | A1   | 20061012 | US 2006-565066   | 20060117 |

11/291216

|      |                   |   |          |             |          |
|------|-------------------|---|----------|-------------|----------|
|      | NO 2006000774     | A | 20060406 | NO 2006-774 | 20060217 |
| PRAI | GB 2003-16915     | A | 20030718 |             |          |
|      | WO 2004-EP8000    | W | 20040715 |             |          |
| OS   | MARPAT 142:240449 |   |          |             |          |
| GI   |                   |   |          |             |          |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

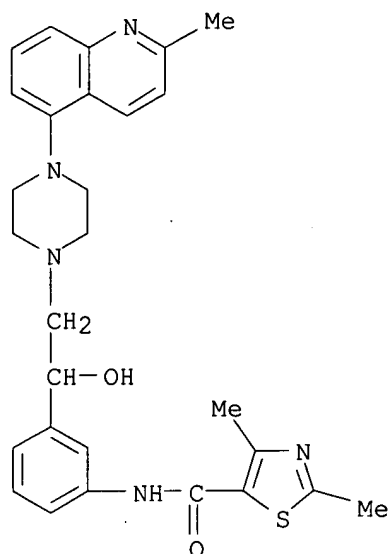
AB Title compds. I [wherein R1 = halo, CN, halo/alkyl, halo/alkoxy; m = 0-4; X = N, CH; R2 = halo, CN, halo/alkyl, halo/alkoxy; n = 0-2; A = [W]p; W = CH2, -CH(alkyl)-, -C(alkyl)(alkyl)-; p = 0-3; Y and Z form together a cycloalkylene group; or Y = CH2, -CH(alkyl)-, -C(alkyl)(alkyl)-; and Z = CH2, CHOH, CHR6, CR6R7; R6, R7 = independently halo, CN, alkyl, alkoxy; R3, R4 = independently H, alkyl, alkylsulfonyl, etc.; or NR3R4 = (un)substituted 3-7-membered monocyclic heterocyclic group or 8-11-membered bicyclic heterocyclic group; R5 = independently halo, CN, alkyl, alkoxy; q = 0-4; and their pharmaceutically acceptable salts] were prepared as ligands for 5-HT1 receptors and/or inhibitors of serotonin reuptake. For instance, II was prepared by acylation of 3-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]aniline (preparation given) with propanoyl chloride. Selected I showed high affinity for 5-HT1A, 5-HT1B, and 5-HT1D with pKi values in the range 8.0-10.0 in a radioligand assay. Certain I appear to be 5-HT1 antagonists, while others appear to be inverse agonists, agonists, or partial agonists using the [35S]GTPyS functional assay (no data). Selected I displayed potency at the uptake site of pIC50 > 6.0. Thus, I are useful for treating CNS disorders, in particular serotonin-related disorders such as depression and anxiety, are also disclosed.

IT 844903-87-3P, N-[3-[1-Hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]phenyl]-2,4-dimethyl-1,3-thiazole-5-carboxamide  
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(5-HT1 ligand; preparation of quinolines and quinazolines as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)

RN 844903-87-3 CAPLUS

CN 5-Thiazolecarboxamide, N-[3-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]phenyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

11/291216

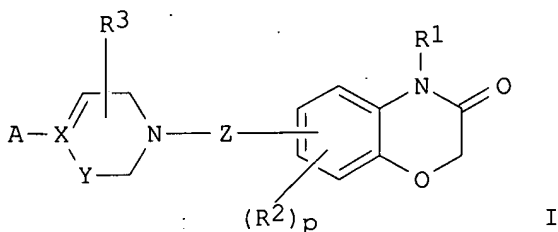


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

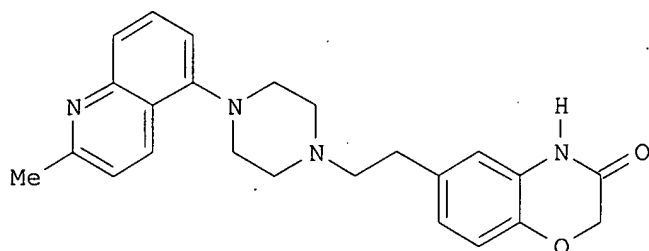
L9 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:453197 CAPLUS  
DN 141:23540  
TI Preparation of benzoxazinones as ligands for 5-HT<sub>1</sub> receptors and their use  
in the treatment of CNS disorders, in particular serotonin-related  
disorders  
IN Bertani, Barbara; Borriello, Manuela; Bozzoli, Andrea; Bromidge, Steven  
Mark; Granci, Enrica; Leslie, Colin; Serafinowska, Halina; Stasi, Luigi;  
Vong, Antonio; Zucchelli, Valeria  
PA Glaxo Group Limited, UK  
SO PCT Int. Appl., 121 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | WO 2004046124  | A1   | 20040603 | WO 2003-EP13085 | 20031120 |
|      | W:   |      |          |                 |          |
|      | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
|      | RW:  |      |          |                 |          |
|      | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |      |          |                 |          |
|      | AU 2003289888  | A1   | 20040615 | AU 2003-289888  | 20031120 |
|      | EP 1562917   | A1   | 20050817 | EP 2003-782221  | 20031120 |
|      | R:   |      |          |                 |          |
|      | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |          |
|      | JP 2006513167  | T2   | 20060420 | JP 2004-552698  | 20031120 |
|      | US 2006264429  | A1   | 20061123 | US 2006-535711  | 20060207 |
| PRAI | GB 2002-27240  | A    | 20021121 |                 |          |
|      | WO 2003-EP13085  | W    | 20031120 |                 |          |
| OS   | MARPAT 141:23540   |      |          |                 |          |

GI



I



II

AB Title compds. I [wherein A = (un)substituted bicyclic 6,5 or 6,6 hetero/aromatic; R1 = H, halo/cyclo/cycloalkyl/aryl/alkyl, alkenyl, alkynyl; p = 0-2; R2 = independently halo, halo/alkyl, CN, alkanoyl, OH and derivs.; R3 = (R4)r; R4 = halo/hydroxy/alkoxy/cyclo/alkyl, halo, halo/aryl/alkoxy, oxo, CN, NO2, alkylthio, alkoxycarbonyl, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, aroyl, acyl, aryl, etc.; X = CH, N, C; q = 0-2, with the proviso that when q = 0, X is not N; Z = attached to the 6- or 8-position of the benzoxazinone group, and is 3- to 7-membered cycloalkylene, cycloalkenylene, or (CH2)n-Y-(CH2)m; m, n = independently 0-2; Y = single bond, 3- to 7-membered cycloalkenylene, CH:CH, C:O, C(:CH2), O, etc.; provided that when A = naphthyl, 5,6,7,8-tetrahydronaphthyl or 2,3-dihydroindene, Z is not -(CH2CH(OH))- , -(CH2CH2CH(OH))- , -(CH2C(:O))-; and their pharmaceutically acceptable salts] were prepared as ligands for 5-HT1 receptors and/or inhibitors of serotonin reuptake. For example, II was prepared, in 65% yield, by alkylation of 2-methyl-5-(piperazin-1-yl)quinoline (preparation given) with 6-(2-chloroethyl)-4H-benzo[1,4]oxazin-3-one (preparation given) in the presence of NaI/Na2CO3 at 120° for 12 h, and acidulation with an HCl solution in MeOH. Selected I showed high affinity for 5-HT1A, 5-HT1B, and 5-HT1D with pKi values in the range 8.0-10.0 in a radioligand assay. Certain I appear to be 5-HT1 antagonists, while others appear to be inverse agonists, agonists, or partial agonists using the [35S]GTPγS functional assay (no data). Selected I displayed potency at the uptake site of pIC50 > 7.0. Thus, I are useful for treating CNS disorders, in particular serotonin-related disorders such as depression and anxiety, are also disclosed.

IT 698983-31-2P, [4-[3-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]propyl]-2-nitrophenoxy]acetic acid methyl ester

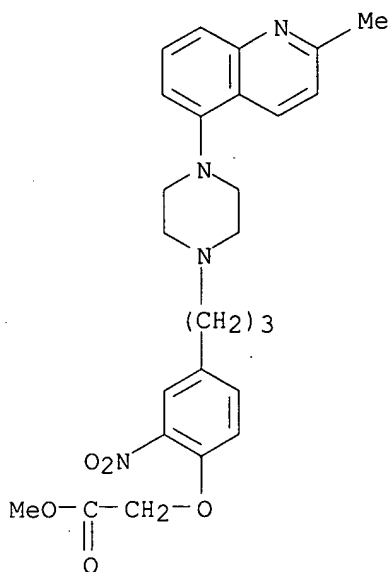
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzoxazinones as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)

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RN 698983-31-2 CAPLUS

CN Acetic acid, [4-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]-2-nitrophenoxy]-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:354923 CAPLUS

DN 140:375196

TI Preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists

IN Ancliff, Rachael; Eldred, Colin David; Fogden, Yvonne C.; Hancock, Ashley Paul; Heightman, Thomas Daniel; Hobbs, Heather; Hodgson, Simon Teanby; Lindon, Matthew J.; Wilson, David Matthew

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 140 pp.

CODEN: PIXXD2

DT Patent

LA English

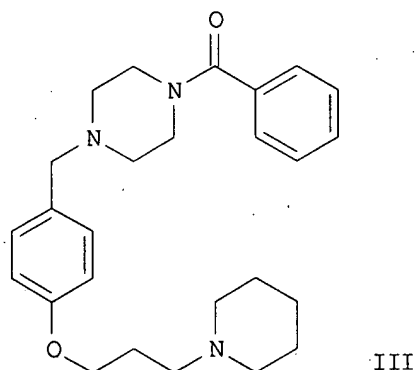
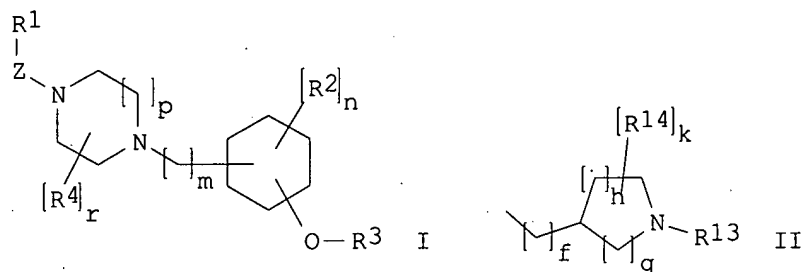
FAN.CNT 2

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---------------|------|----------|--|----------|
| PI | WO 2004035556 | A1   | 20040429 | WO 2003-EP11423  | 20031014 |
|    | W:            |      |          | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |
|    | RW:           |      |          | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |
|    | CA 2502249    | AA   | 20040429 | CA 2003-2502249  | 20031014 |
|    | AU 2003280380 | A1   | 20040504 | AU 2003-280380   | 20031014 |
|    | BR 2003015283 | A    | 20050830 | BR 2003-15283  | 20031014 |
|    | EP 1567511    | A1   | 20050831 | EP 2003-772221   | 20031014 |
|    | R:            |      |          | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |
|    | CN 1726201    | A    | 20060125 | CN 2003-80106014   | 20031014 |



11/291216

|      |                   |    |          |                |          |
|------|-------------------|----|----------|----------------|----------|
|      | JP 2006508935     | T2 | 20060316 | JP 2004-544241 | 20031014 |
|      | NO 2005001689     | A  | 20050707 | NO 2005-1689   | 20050405 |
|      | US 2006025404     | A1 | 20060202 | US 2005-531758 | 20050414 |
| PRAI | GB 2002-24084     | A  | 20021016 |                |          |
|      | WO 2003-EP11423   | W  | 20031014 |                |          |
| OS   | MARPAT 140:375196 |    |          |                |          |
| GI   |                   |    |          |                |          |



AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; Z = a bond, CO, (un)substituted CONH, SO2; p = 1-2; m, n, r = 0-2; R2 = halo, alkyl, alkoxy, etc.; R3 = (CH2)<sub>q</sub>NR11R12, II (wherein q = 2-4; R11, R12 = alkyl, cycloalkyl; NR11R12 = heterocyclyl; R13 = H, alkyl, cycloalkyl, etc.; R14 = halo, alkyl, haloalkyl, etc.; f, k = 0-2; g = 0-2; h = 0-3, such that g and h cannot both be 0); R4 = H, alkyl such that when r = 2, two R4 groups may instead be linked to form CH2, (CH2)<sub>2</sub>, (CH2)<sub>3</sub>; with the provisos], useful in the treatment of neurodegenerative disorders including Alzheimer's disease, and inflammatory diseases of the upper respiratory tract, were prepared Thus, reacting 1-[4-(3-piperidin-1-ylpropoxy)benzyl]piperazine.3HCl (preparation given) with benzoic acid afforded 77% III which was tested in the histamine H3 functional antagonist assay and showed pK<sub>B</sub> of > 6.5. The pharmaceutical composition comprising the

compound

I is claimed.

IT 684246-11-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists)

RN 684246-11-5 CAPLUS

CN Quinoline, 8-[4-[2-[4-[3-(1-piperidinyl)propoxy]phenyl]ethyl]-1-

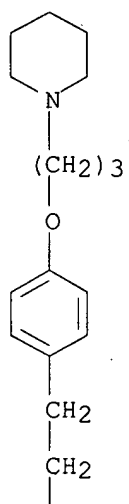
11/291216

piperazinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

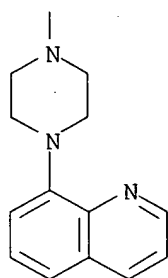
CM 1

CRN 684246-10-4  
CMF C29 H38 N4 O

PAGE 1-A



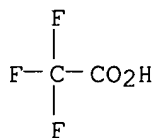
PAGE 2-A



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

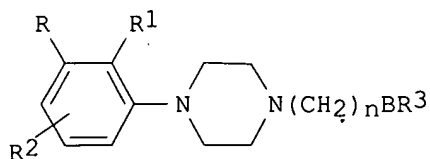
11/291216



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2002:185088 CAPLUS  
DN 136:247607  
TI Arylpiperazine derivatives as psychotropic agents  
IN Gottschlich, Rudolf; Dorsch, Dieter; Bartoszyk, Gerd; Harting, Juergen;  
Seyfried, Christoph; Van Amsterdam, Christoph  
PA Merck Patent G.m.b.H., Germany  
SO PCT Int. Appl., 51 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| PI WO 2002020491  | A1   | 20020314 | WO 2001-EP9108   | 20010807 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| DE 10043659   | A1   | 20020314 | DE 2000-10043659 | 20000905 |
| AU 2001091744   | A5   | 20020322 | AU 2001-91744    | 20010807 |
| CA 2421219  | AA   | 20030303 | CA 2001-2421219  | 20010807 |
| BR 2001013581   | A    | 20030715 | BR 2001-13581    | 20010807 |
| EP 1326842  | A1   | 20030716 | EP 2001-971882   | 20010807 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                  |          |
| NO 2003000998   | A    | 20030304 | NO 2003-998      | 20030304 |
| US 2004014972   | A1   | 20040122 | US 2003-363168   | 20030305 |
| ZA 2003002636   | A    | 20040908 | ZA 2003-2636     | 20030403 |
| PRAI DE 2000-10043659   | A    | 20000905 |                  |          |
| WO 2001-EP9108  | W    | 20010807 |                  |          |
| OS MARPAT 136:247607  |      |          |                  |          |
| GI  |      |          |                  |          |



AB Arylpiperazines I [RR1 = atoms required to complete an (un)substituted ring containing 1-2 N atoms; R2 = H, alkyl, halogen; R3 = (un)substituted Ph, thienyl; B = CO, CHO, CR3OH; n = 1-4] were prepared for use as D2

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antagonists and 5-HT1A agonists (no data). Thus, 1-(8-quinolinyl)piperazine was treated with Cl(CH2)3COC6H4F-4 to give I [RR1 = CH:CHCH:N, R2 = H, R3 = C6H4F-4, B = CO, n = 3].

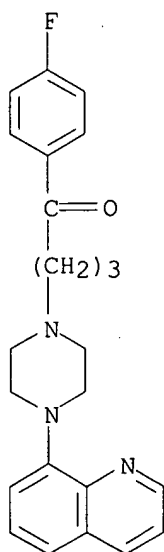
IT 403804-73-9P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of arylpiperazine derivs. as D2 antagonists and 5-HT1A agonists)

RN 403804-73-9 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(8-quinolinyl)-1-piperazinyl]- (9CI)  
(CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:614134 CAPLUS

DN 131:331740

TI A new class of selective and potent inhibitors of neuronal nitric oxide synthase

AU Lowe, John A., III; Qian, Weimin; Volkmann, Robert A.; Heck, Steven; Nowakowski, Jolanta; Nelson, Robert; Nolan, Charles; Liston, Dane; Ward, Karen; Zorn, Stevin; Johnson, Celeste; Vanase, Michelle; Faraci, W. Stephen; Verdries, Kimberly A.; Baxter, James; Doran, Shawn; Sanders, Martin; Ashton, Mike; Whittle, Peter; Stefaniak, Mark

CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA

SO Bioorganic & Medicinal Chemistry Letters (1999), 9(17), 2569-2572  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB The synthesis and SAR of a series of 6-(4-(substituted)phenyl)-2-aminopyridines as inhibitors of nitric oxide synthase (NOS) are described. One of the compds. from this series shows potent and selective inhibition of the human neuronal NOS (nNOS) isoform, with pharmacokinetics sufficient to provide in vivo inhibition of nNOS activity. It appears that an sp<sup>2</sup> center proximal to the terminal piperazine N is important for selectively inhibiting nNOS over endothelial NOS.

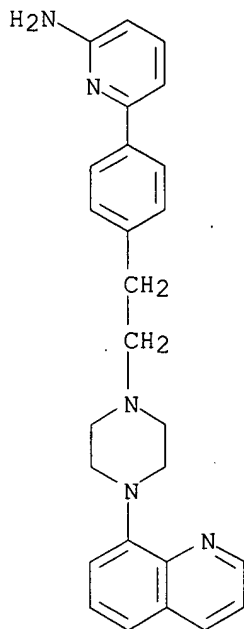
IT 250236-17-0

11/291216

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of 6-(4-(substituted)phenyl)-2-aminopyridines as selective and potent inhibitors of neuronal NO synthase)

RN 250236-17-0 CAPLUS

CN 2-Pyridinamine, 6-[4-[2-[4-(8-quinolinyl)-1-piperazinyl]ethyl]phenyl]-  
(9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:579615 CAPLUS

DN 121:179615

TI Preparation of heterocyclylpiperazinylalkylcarboxamides as 5-HT1A antagonists

IN Cliffe, Ian Anthony; Brightwell, Christopher Ian; Mansell, Howard Langham; White, Alan Chapman

PA John Wyeth and Brother Ltd., UK

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA English

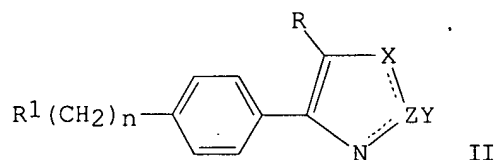
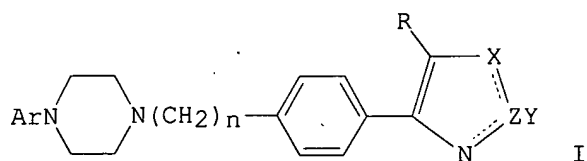
FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | WO 9415919   | A1   | 19940721 | WO 1993-GB2660  | 19931224 |
|    | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN              |      |          |                 |          |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |      |          |                 |          |
|    | AU 9458197   | A1   | 19940815 | AU 1994-58197   | 19931224 |
|    | EP 678090  | A1   | 19951025 | EP 1994-903945  | 19931224 |
|    | EP 678090  | B1   | 19981014 |                 |          |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE  |      |          |                 |          |
|    | JP 08505156  | T2   | 19960604 | JP 1993-515781  | 19931224 |
|    | AT 172193  | E    | 19981015 | AT 1994-903945  | 19931224 |
|    | ES 2123756   | T3   | 19990116 | ES 1994-903945  | 19931224 |

11/291216

TI Preparation of arylpiperazine derivatives as psychotropic agents  
IN Loe, John Adams.  
PA Pfizer Corp., USA  
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 28 pp.  
CODEN: CNXXEV  
DT Patent  
LA Chinese  
FAN.CNT 1

|      | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|------|-------------------|------|----------|-----------------|----------|
| PI   | CN 88100986       | A    | 19880921 | CN 1988-100986  | 19880215 |
|      | CN 1015627        | B    | 19920226 |                 |          |
| PRAI | CN 1988-100986    |      | 19880215 |                 |          |
| OS   | MARPAT 110:173259 |      |          |                 |          |
| GI   |                   |      |          |                 |          |



AB Arylpiperazine derivs. [I; Ar = Ph, 3-(F3C)C6H4, naphthyl, etc.; R = H, Cl-3 alkyl; X = N, S, O; ZY = CH, COH, CSH, CNH2, or N, etc., but when ZY = N, X ≠ O; n = 2-4], useful as psychotropic agents (no data), are prepared by substitution of N-arylpiperazine with aralkyl halides II (R1 = halo). Br was added to a solution of 4-(MeCO)C6H4CH2CH2Cl in HOAc at room temperature with stirring to give an oil which was treated with thiourea in Me2CO to give 51% thiazole derivative II.HBr (R = H, R1 = Cl, X = S, ZY = CNH2, n = 2), which was refluxed with N-1-naphthylpiperazine, Et3N, Na2CO3, and NaI in EtOH to give 31% I (Ar = 1-naphthyl, R = H, X = S, ZY = CNH2, n = 2).

IT 120017-28-9P

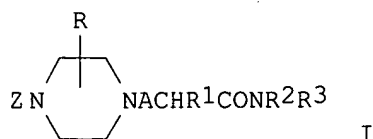
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as psychotropic agent)

RN 120017-28-9 CAPLUS

CN 2-Thiazolamine, 4-[4-[2-[4-(8-quinoliny)-1-piperazinyl]ethyl]phenyl]-  
(9CI) (CA INDEX NAME)

11/291216

|                      |    |          |                |          |
|----------------------|----|----------|----------------|----------|
| IL 108258            | A1 | 19981206 | IL 1994-108258 | 19940103 |
| US 5627177           | A  | 19970506 | US 1995-446601 | 19950524 |
| PRAI GB 1993-195     | A  | 19930106 |                |          |
| WO 1993-GB2660       | W  | 19931224 |                |          |
| OS MARPAT 121:179615 |    |          |                |          |
| GI                   |    |          |                |          |



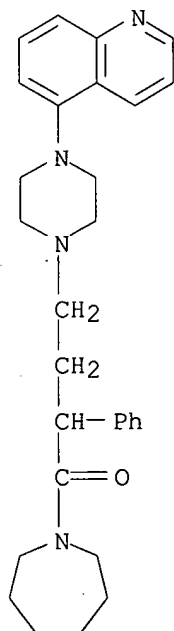
AB Title compds. [I; A = (alkyl-substituted) C1-2 alkylene; Z = (substituted) indolyl, isoindolyl, quinolinyl, isoquinolinyl, indazolyl, benzotriazolyl; R = H, 1-2 alkyl groups; R1 = aryl, arylalkyl; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl; R2R3N = saturated heterocyclyl], were prepared Thus, Me 4-(1-piperazinyl)indole-2-carboxylate (preparation given), hexahydroazepin-1-yl-4-chloro-2-phenylbutan-1-one, Et3N, and KI were stirred in DMF at 100° to give Me 4-[4-(4-hexahydroazepin-1-yl-4-oxo-3-phenylbutyl)piperazin-1-yl]-1H-indole-2-carboxylate. The latter antagonized 8-OH DPAT syndrome in rats with IC50 = 0.3 mg/kg s.c.

IT 157649-39-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as 5-HT1A antagonist)

RN 157649-39-3 CAPLUS

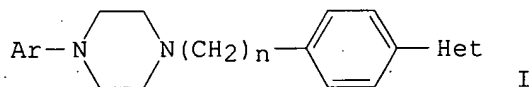
CN 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(5-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1989:173259 CAPLUS  
DN 110:173259

11/291216

|                                       |    |          |                 |          |
|---------------------------------------|----|----------|-----------------|----------|
| JP 06099405                           | B4 | 19941207 |                 |          |
| PL 157118                             | B1 | 19920430 | PL 1988-270653  | 19880215 |
| CA 1312080                            | A1 | 19921229 | CA 1988-558900  | 19880215 |
| AU 8811740                            | A1 | 19880818 | AU 1988-11740   | 19880216 |
| AU 583761                             | B2 | 19890504 |                 |          |
| DK 8800788                            | A  | 19880818 | DK 1988-788     | 19880216 |
| DK 170878                             | B1 | 19960226 |                 |          |
| FI 8800716                            | A  | 19880818 | FI 1988-716     | 19880216 |
| FI 91752                              | B  | 19940429 |                 |          |
| FI 91752                              | C  | 19940810 |                 |          |
| NO 8800667                            | A  | 19880818 | NO 1988-667     | 19880216 |
| NO 170582                             | B  | 19920727 |                 |          |
| NO 170582                             | C  | 19921104 |                 |          |
| DD 272080                             | A5 | 19890927 | DD 1988-312959  | 19880216 |
| ZA 8801064                            | A  | 19890927 | ZA 1988-1064    | 19880216 |
| HU 50334                              | A2 | 19900129 | HU 1988-748     | 19880216 |
| HU 207731                             | B  | 19930528 |                 |          |
| CS 272783                             | B2 | 19910212 | CS 1988-964     | 19880216 |
| SU 1634136                            | A3 | 19910307 | SU 1988-4355194 | 19880216 |
| PRAI WO 1987-US340                    | A  | 19870217 |                 |          |
| EP 1988-301171                        | A  | 19880212 |                 |          |
| OS CASREACT 110:8234; MARPAT 110:8234 |    |          |                 |          |
| GI                                    |    |          |                 |          |



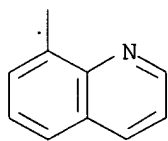
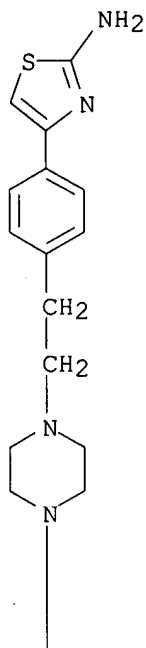
AB The title compds. [I; Ar = Ph, 3-F3CC6H4, 3-NCC6H4, naphthyl, (substituted) heterocyclyl; Het = (substituted) imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, triazolyl; n = 2, 3, 4] useful as antipsychotics (no data), were prepared A solution of AcCl and AlCl3 in ethylene dichloride was added to PhCH2CH2Cl in ethylene dichloride. The mixture was stirred at room temperature to give 4-(2-chloroethyl)acetophenone. The latter in AcOH was treated with Br and the product was cyclocondensed with H2NCSNH2 to give 4-[4-(2-chloroethyl)phenyl]-2-aminothiazole-HBr. The latter was stirred with N-(1-naphthyl)piperazine, Et3N, Na2CO3, and NaI in EtOH at room temperature for 5 d to give 4-[4-[2-[4-(1-naphthyl)piperazinyl]ethyl]phenyl]-2-aminothiazole.

IT 117943-36-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antipsychotic)

RN 117943-36-9 CAPLUS

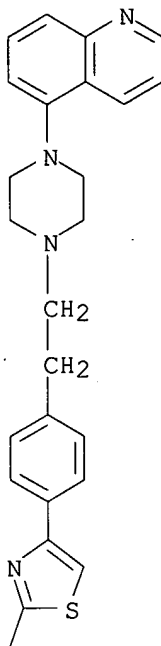
CN 2-Thiazolamine, 4-[4-[2-[4-(5-quinolinyl)-1-piperazinyl]ethyl]phenyl]-(9CI) (CA INDEX NAME)





L9 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1989:8234 CAPLUS  
 DN 110:8234  
 TI Preparation of 1-aryl-4-(4-heterocyclylphenyl)piperazines as  
 antipsychotics  
 IN Lowe, John Adams, III  
 PA Pfizer Inc., USA  
 SO Eur. Pat. Appl., 23 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | EP 279598   | A2   | 19880824 | EP 1988-301171  | 19880212 |
|    | EP 279598   | A3   | 19890726 |                 |          |
|    | EP 279598   | B1   | 19930915 |                 |          |
|    | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |          |
|    | US 4891375  | A    | 19900102 | US 1988-143909  | 19880113 |
|    | IN 171858   | A1   | 19930123 | IN 1988-DE64    | 19880127 |
|    | AT 94537  | E    | 19931015 | AT 1988-301171  | 19880212 |
|    | ES 2058249  | T3   | 19941101 | ES 1988-301171  | 19880212 |
|    | JP 63216875   | A2   | 19880909 | JP 1988-32593   | 19880215 |

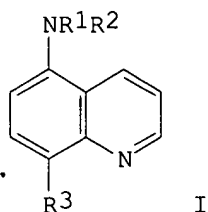


/  
H<sub>2</sub>N

L9 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1988:493064 CAPLUS  
 DN 109:93064  
 TI Preparation of aminoquinoline derivatives as antiinflammatory agents and  
 cardiotonics  
 IN Konno, Fujiko; Umehara, Norimitsu; Isomae, Kazuo; Matsuda, Hideaki;  
 Katori, Tatsuhiko  
 PA S. S. Pharmaceutical Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

|      | PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|------|------------------|------|----------|-----------------|----------|
|      | -----            | ---- | -----    | -----           | -----    |
| PI   | JP 63054363      | A2   | 19880308 | JP 1986-199458  | 19860826 |
| PRAI | JP 1986-199458   |      | 19860826 |                 |          |
| OS   | MARPAT 109:93064 |      |          |                 |          |
| GI   |                  |      |          |                 |          |

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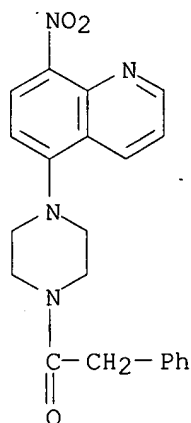


AB The title compds. I [R1 = H; R2 = (substituted) lower alkyl, or NR1R2 may form a (substituted) N-, O-, or S-containing ring; R3 = NO2, amino, acylamino], useful as antiinflammatory agents and cardiotonics, were prepared. A mixture of 2.5 g 5-chloro-8-nitroquinoline and 5.16 g piperazine in 50 mL 2-ethoxyethanol was refluxed for 5 h to give 2.7 g I (NRR1 = 1-piperazinyl, R3 = NO2) (II). At 30 mg/kg orally, II inhibited carrageenin-induced edema in rats by 29.8%.

IT 115687-01-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antiinflammatory and cardiotonic)

RN 115687-01-9 CAPLUS

CN Piperazine, 1-(8-nitro-5-quinolinyl)-4-(phenylacetyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1983:558276 CAPLUS

DN 99:158276

TI Carbostryril derivatives

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 50 pp.  
CODEN: JKXXAF

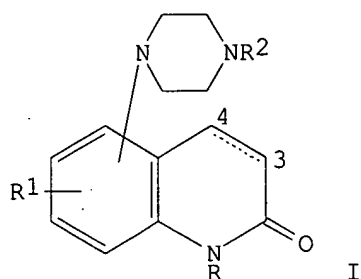
DT Patent

LA Japanese

FAN.CNT 1

|      | PATENT NO.         | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--------------------|------|----------|-----------------|----------|
| PI   | JP 58083677        | A2   | 19830519 | JP 1981-181360  | 19811111 |
|      | JP 03014023        | B4   | 19910225 |                 |          |
| PRAI | JP 1981-181360     |      | 19811111 |                 |          |
| OS   | CASREACT 99:158276 |      |          |                 |          |
| GI   |                    |      |          |                 |          |

11/291216



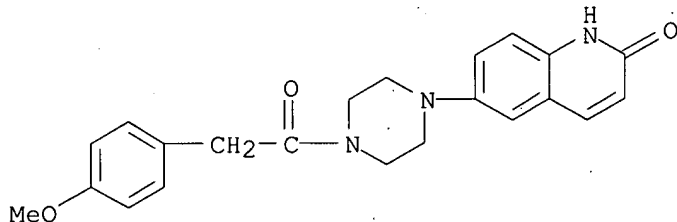
AB Carbostyryl derivs. (I; R = H, alkyl, alkenyl, alkynyl, aralkyl; R1 = H, alkoxy; R2 = H, alkanoyl, furoyl, pyridylcarbonyl, etc.; 3,4-saturated or unsatd.) were prepared I were effective coronary vasodilators at 100 nM-1  $\mu$ M in dogs. Thus, a mixture of 9.36 g 6-amino-3,4-dihydrocarbostyryl and 18 g (BrCH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NH $\cdot$ HBr in MeOH was refluxed 15 h, cooled, 3.06 g Na<sub>2</sub>CO<sub>3</sub> added, and the mixture refluxed 8 h to give 9.1 g I $\cdot$ HBr (R = R1 = R2 = H, 3,4-saturated, piperazine at 6-position). Similarly prepared were 148 I and salts.

IT 81839-33-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 81839-33-0 CAPLUS

CN Piperazine, 1-(1,2-dihydro-2-oxo-6-quinolinyl)-4-[(4-methoxyphenyl)acetyl]-  
(9CI) (CA INDEX NAME)



L9 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1983:522327 CAPLUS

DN 99:122327

TI Carbostyryl derivatives

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

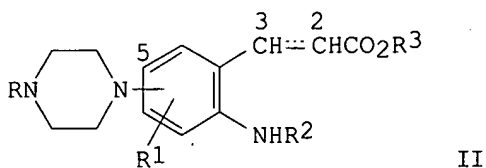
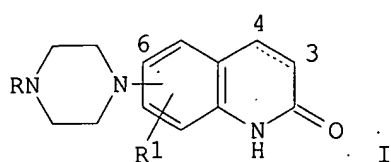
DT Patent

LA Japanese

FAN.CNT 1

|      | PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE     |
|------|----------------|------|----------|-----------------|----------|
| PI   | JP 58083678    | A2   | 19830519 | JP 1981-181361  | 19811111 |
|      | JP 03014024    | B4   | 19910225 |                 |          |
|      | JP 01117865    | A2   | 19890510 | JP 1988-234284  | 19880919 |
|      | JP 03019230    | B4   | 19910314 |                 |          |
| PRAI | JP 1981-181361 |      | 19811111 |                 |          |
| GI   |                |      |          |                 |          |

11/291216

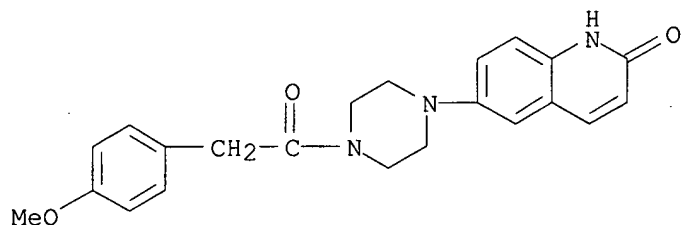


AB Ninety-five carbostyrils (I; R = H, alkanoyl, furoyl, aroyl, etc.; R1 = H, alkoxy; 3,4-saturated or unsatd.) were prepared by cyclization of II (R2 = H, alkanoyl; R3 = H, alkyl). I were effective vasodilators (no data). Thus, 1 mL concentrated HCl was added to a solution of 1 g II (R = 3,4-dimethoxybenzoyl at 5-position; R1 = R2 = R3 = H; 2,3-saturated) in CHCl3-MeOH and the solution stirred 1 h at room temperature to give 500 mg I (R = 3,4-dimethoxybenzoyl at 6-position; R1 = H, 3,4-saturated).

IT 81839-33-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 81839-33-0 CAPLUS

CN Piperazine, 1-(1,2-dihydro-2-oxo-6-quinolinyl)-4-[(4-methoxyphenyl)acetyl]-  
 (9CI) (CA INDEX NAME)



L9 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1983:493742 CAPLUS

DN 99:93742

TI Carbostyrils as cardiotoxic agents

PA Otsuka Pharmaceutical Co., Ltd., Japan

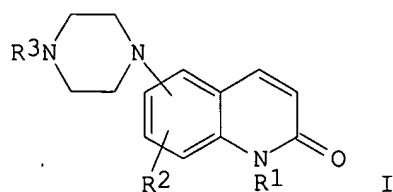
SO Jpn. Kokai Tokkyo Koho, 40 pp.  
 CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

|      | PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE     |
|------|----------------|------|----------|-----------------|----------|
| PI   | JP 58088314    | A2   | 19830526 | JP 1981-187162  | 19811120 |
|      | JP 01041128    | B4   | 19890904 |                 |          |
| PRAI | JP 1981-187162 |      | 19811120 |                 |          |
| GI   |                |      |          |                 |          |



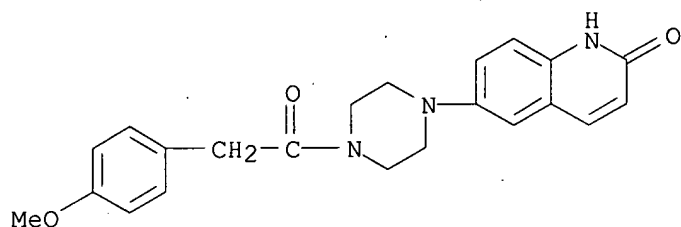
11/291216

AB Carbostyrils I (R1 = H, alkyl, alkenyl, etc.; R2 = H or alkoxy; R3 = H, alkanoyl, alkanesulfonyl, etc.) are prepared as cardiostonic agents, and their formulations presented. Thus, 6-(1-piperazinyl)-3,4-dihydrocarbostyril-HBr [86813-31-2] was prepared by treating 6-amino-3,4-dihydrocarbostyril [22246-13-5] with bis-( $\beta$ -bromoethyl)amine-HBr [43204-63-3]. Tablets containing I, starch, and Mg stearate were prepared

IT 81839-33-0P  
RL: THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);  
USES (Uses)  
(preparation of, as cardiostonic agent)

RN 81839-33-0 CAPLUS

CN Piperazine, 1-(1,2-dihydro-2-oxo-6-quinolinyl)-4-[(4-methoxyphenyl)acetyl]-  
(9CI) (CA INDEX NAME)



L9 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1982:472386 CAPLUS

DN 97:72386

TI Carbostyril derivatives used as cardiostonic agents and medicines containing them

IN Yang, Yung Hsiung; Tominaga, Michiaki; Nakagawa, Kazuyuki; Ogawa, Hidenori

PA Otsuka Pharmaceutical Co., Ltd. , Japan

SO Belg., 103 pp.

CODEN: BEXXAL

DT Patent

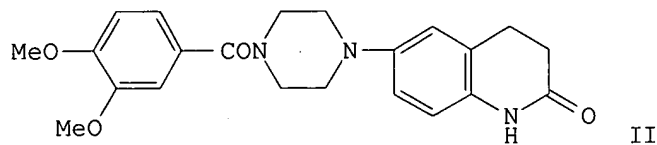
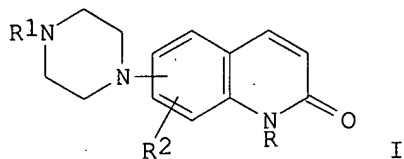
LA French

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------|------|----------|-----------------|----------|
| PI | BE 890942   | A1   | 19820215 | BE 1981-206407  | 19811030 |
|    | JP 57077676 | A2   | 19820515 | JP 1980-154071  | 19801031 |
|    | JP 01043747 | B4   | 19890922 |                 |          |
|    | DE 3142982  | A1   | 19820624 | DE 1981-3142982 | 19811029 |
|    | DE 3142982  | C2   | 19851219 |                 |          |
|    | ZA 8107515  | A    | 19821027 | ZA 1981-7515    | 19811029 |
|    | ES 507198   | A1   | 19830616 | ES 1981-507198  | 19811029 |
|    | AT 8104602  | A    | 19860415 | AT 1981-4602    | 19811029 |
|    | AT 381701   | B    | 19861125 |                 |          |
|    | CA 1209575  | A1   | 19860812 | CA 1981-389068  | 19811029 |
|    | SU 1426452  | A3   | 19880923 | SU 1981-3349303 | 19811029 |
|    | DE 3153260  | C2   | 19890524 | DE 1981-3153260 | 19811029 |
|    | DK 8104803  | A    | 19820501 | DK 1981-4803    | 19811030 |
|    | DK 155665   | B    | 19890501 |                 |          |
|    | DK 155665   | C    | 19890904 |                 |          |
|    | FI 8103408  | A    | 19820501 | FI 1981-3408    | 19811030 |
|    | FI 77450    | B    | 19881130 |                 |          |
|    | FI 77450    | C    | 19890310 |                 |          |
|    | SE 8106430  | A    | 19820501 | SE 1981-6430    | 19811030 |
|    | SE 448877   | B    | 19870323 |                 |          |

11/291216

|                                       |    |          |                |          |
|---------------------------------------|----|----------|----------------|----------|
| SE 448877                             | C  | 19870702 |                |          |
| NO 8103678                            | A  | 19820503 | NO 1981-3678   | 19811030 |
| NO 158099                             | B  | 19880405 |                |          |
| NO 158099                             | C  | 19880713 |                |          |
| AU 8176996                            | A1 | 19820506 | AU 1981-76996  | 19811030 |
| AU 524419                             | B2 | 19820916 |                |          |
| FR 2493320                            | A1 | 19820507 | FR 1981-20470  | 19811030 |
| FR 2493320                            | B1 | 19850823 |                |          |
| NL 8104923                            | A  | 19820517 | NL 1981-4923   | 19811030 |
| NL 194205                             | B  | 20010501 |                |          |
| NL 194205                             | C  | 20010904 |                |          |
| GB 2086896                            | A  | 19820519 | GB 1981-32743  | 19811030 |
| GB 2086896                            | B2 | 19841010 |                |          |
| US 4415572                            | A  | 19831115 | US 1981-316572 | 19811030 |
| CH 650782                             | A  | 19850815 | CH 1981-6942   | 19811030 |
| CH 656616                             | A  | 19860715 | CH 1985-199    | 19811030 |
| ES 520637                             | A1 | 19840416 | ES 1983-520637 | 19830315 |
| ES 520638                             | A1 | 19840416 | ES 1983-520638 | 19830315 |
| NL 8403096                            | A  | 19850201 | NL 1984-3096   | 19841011 |
| FR 2552760                            | A1 | 19850405 | FR 1984-16085  | 19841019 |
| FR 2552760                            | B1 | 19880805 |                |          |
| DK 8405619                            | A  | 19841127 | DK 1984-5619   | 19841127 |
| DK 159436                             | B  | 19901015 |                |          |
| DK 159436                             | C  | 19910402 |                |          |
| SE 8406209                            | A  | 19841206 | SE 1984-6209   | 19841206 |
| SE 466655                             | B  | 19920316 |                |          |
| SE 466655                             | C  | 19920716 |                |          |
| PRAI JP 1980-154071                   | A  | 19801031 |                |          |
| CH 1981-6942                          | A  | 19811030 |                |          |
| DK 1981-4803                          | A  | 19811030 |                |          |
| NL 1981-4923                          | A3 | 19811030 |                |          |
| OS CASREACT 97:72386; MARPAT 97:72386 |    |          |                |          |
| GI                                    |    |          |                |          |



AB Piperazinocarbostyrils I (R = H, alkyl, alkenyl, alkynyl, phenylalkyl; R1 = H, acyl, alkylsulfenyl, (un)substituted alkyl, alkoxycarbonyl, arylsulfonyl; R2 = H, alkoxy) and their 3,4-dihydro analogs were prepared. Thus, 6-amino-3,4-dihydrocarbostyril was treated with (BrCH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NHCOC<sub>6</sub>H<sub>3</sub>(OMe)<sub>2</sub>-3,4 to give II which at 100 nmoles intraarterially in dogs gave a 79.6% change in the contraction of the atrial muscle and a change in coronary output of 1.2 mL/min.

IT 81839-33-0P

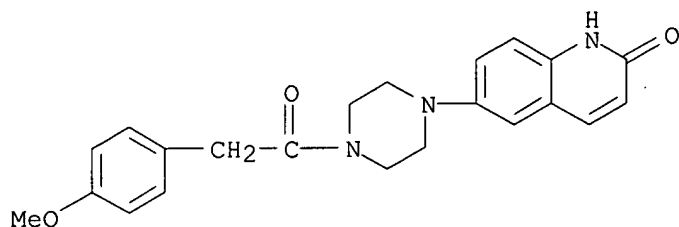
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

11/291216

(preparation and cardiotonic activity of)

RN 81839-33-0 CAPLUS

CN Piperazine, 1-(1,2-dihydro-2-oxo-6-quinolinyl)-4-[(4-methoxyphenyl)acetyl]-  
(9CI) (CA INDEX NAME)



=> d 19 5-7 9 10 bib hitstr

L9 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:185088 CAPLUS

DN 136:247607

TI Arylpiperazine derivatives as psychotropic agents

IN Gottschlich, Rudolf; Dorsch, Dieter; Bartoszyk, Gerd; Harting, Juergen;  
Seyfried, Christoph; Van Amsterdam, Christoph

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

|      | PATENT NO.       | KIND | DATE     | APPLICATION NO.  | DATE     |
|------|------------------|------|----------|--|----------|
| PI   | WO 2002020491    | A1   | 20020314 | WO 2001-EP9108   | 20010807 |
|      | W:               |      |          | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |
|      | RW:              |      |          | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |
|      | DE 10043659      | A1   | 20020314 | DE 2000-10043659   | 20000905 |
|      | AU 2001091744    | A5   | 20020322 | AU 2001-91744  | 20010807 |
|      | CA 2421219       | AA   | 20030303 | CA 2001-2421219  | 20010807 |
|      | BR 2001013581    | A    | 20030715 | BR 2001-13581  | 20010807 |
|      | EP 1326842       | A1   | 20030716 | EP 2001-971882   | 20010807 |
|      | R:               |      |          | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |
|      | NO 2003000998    | A    | 20030304 | NO 2003-998  | 20030304 |
|      | US 2004014972    | A1   | 20040122 | US 2003-363168   | 20030305 |
|      | ZA 2003002636    | A    | 20040908 | ZA 2003-2636   | 20030403 |
| PRAI | DE 2000-10043659 | A    | 20000905 |  |          |
|      | WO 2001-EP9108   | W    | 20010807 |  |          |

OS MARPAT 136:247607

IT 403804-73-9P 403804-79-5P 403804-81-9P

403804-83-1P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
USES (Uses)

(preparation of arylpiperazine derivs. as D2 antagonists and 5-HT1A

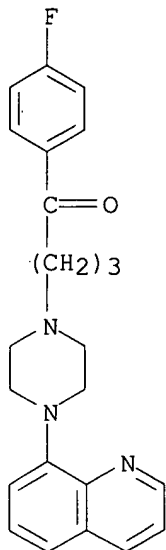


11/291216

agonists)

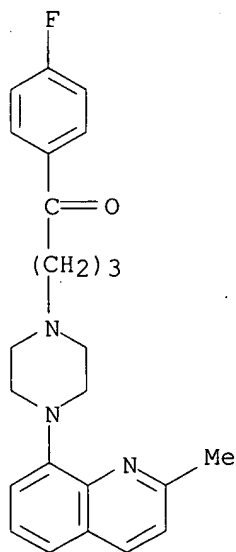
RN 403804-73-9 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(8-quinolinyl)-1-piperazinyl]- (9CI)  
(CA INDEX NAME)



RN 403804-79-5 CAPLUS

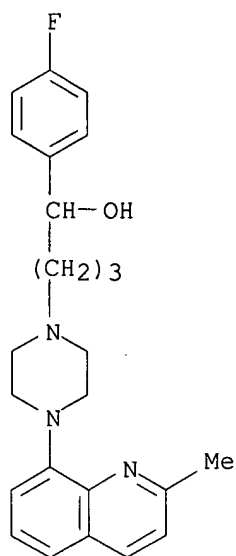
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-methyl-8-quinolinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 403804-81-9 CAPLUS

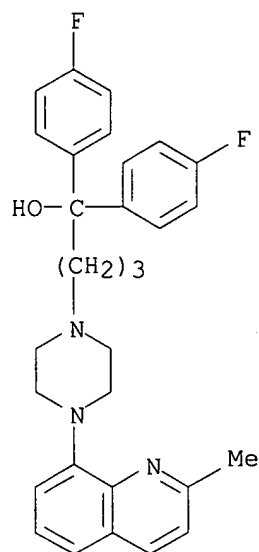
CN 1-Piperazinebutanol, α-(4-fluorophenyl)-4-(2-methyl-8-quinolinyl)- (9CI) (CA INDEX NAME)

11/291216



RN 403804-83-1 CAPLUS

CN 1-Piperazinebutanol,  $\alpha,\alpha$ -bis(4-fluorophenyl)-4-(2-methyl-8-quinolinyl)- (9CI) (CA INDEX NAME)



IT 403804-74-0P 403804-75-1P 403804-76-2P

403804-78-4P 403804-80-8P 403804-82-0P

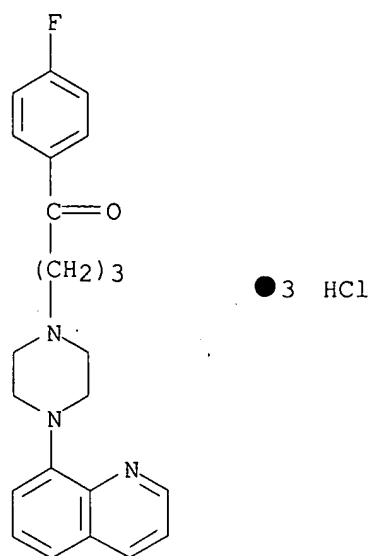
403804-84-2P 403804-89-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of arylpiperazine derivs. as D2 antagonists and 5-HT1A agonists)

RN 403804-74-0 CAPLUS

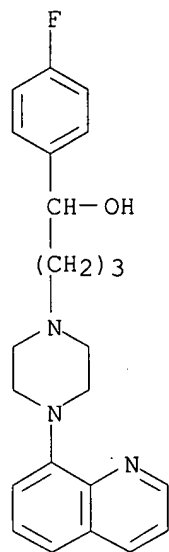
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(8-quinolinyl)-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

11/291216



RN 403804-75-1 CAPLUS

CN 1-Piperazinebutanol,  $\alpha$ -(4-fluorophenyl)-4-(8-quinolinyl)- (9CI) (CA INDEX NAME)



RN 403804-76-2 CAPLUS

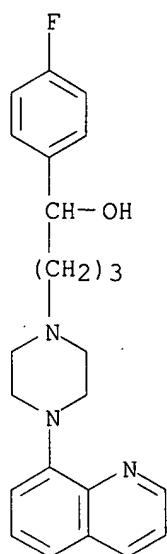
CN 1-Piperazinebutanol,  $\alpha$ -(4-fluorophenyl)-4-(8-quinolinyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-75-1

CMF C23 H26 F N3 O

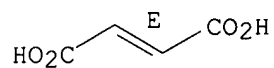
11/291216



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

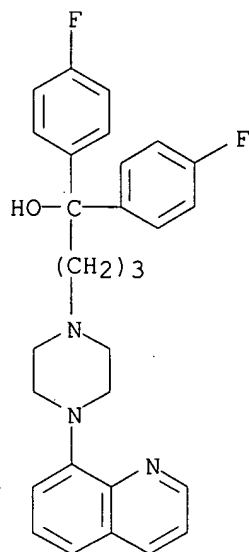


RN 403804-78-4 CAPLUS  
CN 1-Piperazinebutanol,  $\alpha,\alpha$ -bis(4-fluorophenyl)-4-(8-quinolinyl)-  
, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-77-3  
CMF C29 H29 F2 N3 O

11/291216

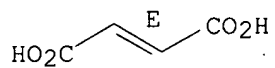


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 403804-80-8 CAPLUS

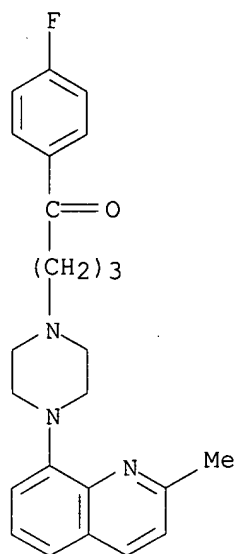
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-methyl-8-quinolinyl)-1-piperazinyl]-  
, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-79-5

CMF C24 H26 F N3 O

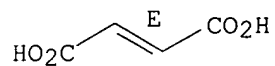
11/291216



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

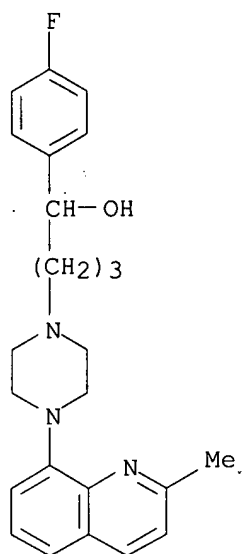


RN 403804-82-0 CAPLUS  
CN 1-Piperazinebutanol,  $\alpha$ -(4-fluorophenyl)-4-(2-methyl-8-quinolinyl)-,  
(2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-81-9  
CMF C24 H28 F N3 O

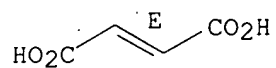
11/291216



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

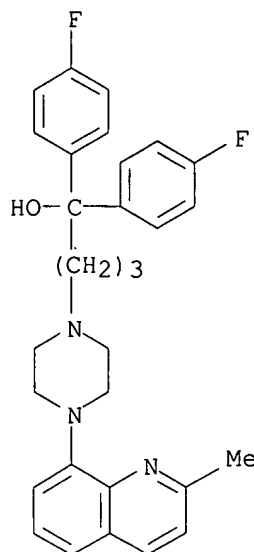


RN 403804-84-2 CAPLUS  
CN 1-Piperazinebutanol,  $\alpha,\alpha$ -bis(4-fluorophenyl)-4-(2-methyl-8-quinolinyl)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-83-1  
CMF C30 H31 F2 N3 O

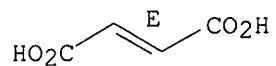
11/291216



CM 2

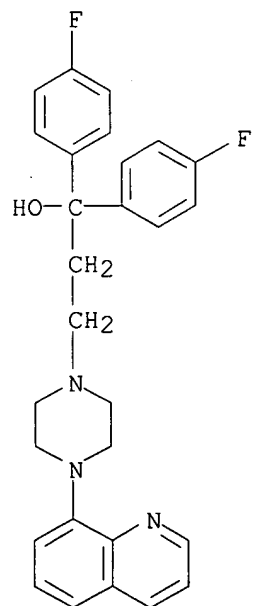
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 403804-89-7 CAPLUS

CN 1-Piperazinepropanol,  $\alpha,\alpha$ -bis(4-fluorophenyl)-4-(8-quinolinyl)-  
(9CI) (CA INDEX NAME)

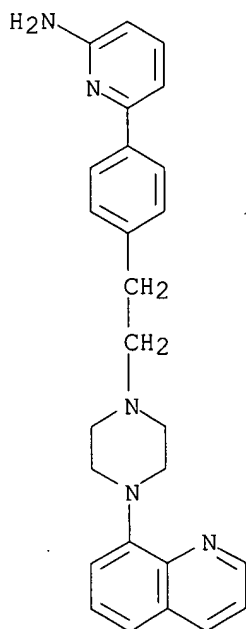




11/291216

RE.CNT 6      THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9    ANSWER 6 OF 14    CAPLUS    COPYRIGHT 2006 ACS on STN  
AN    1999:614134    CAPLUS  
DN    131:331740  
TI    A new class of selective and potent inhibitors of neuronal nitric oxide  
      synthase  
AU    Lowe, John A., III; Qian, Weimin; Volkmann, Robert A.; Heck, Steven;  
      Nowakowski, Jolanta; Nelson, Robert; Nolan, Charles; Liston, Dane; Ward,  
      Karen; Zorn, Stevin; Johnson, Celeste; Vanase, Michelle; Faraci, W.  
      Stephen; Verdries, Kimberly A.; Baxter, James; Doran, Shawn; Sanders,  
      Martin; Ashton, Mike; Whittle, Peter; Stefaniak, Mark  
CS    Central Research Division, Pfizer Inc., Groton, CT, 06340, USA  
SO    Bioorganic & Medicinal Chemistry Letters (1999), 9(17), 2569-2572  
      CODEN: BMCLE8; ISSN: 0960-894X  
PB    Elsevier Science Ltd.  
DT    Journal  
LA    English  
IT    250236-17-0  
      RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
      study, unclassified); BIOL (Biological study)  
      (preparation of 6-(4-(substituted)phenyl)-2-aminopyridines as selective and  
      potent inhibitors of neuronal NO synthase)  
RN    250236-17-0    CAPLUS  
CN    2-Pyridinamine, 6-[4-[2-[4-(8-quinolinyl)-1-piperazinyl]ethyl]phenyl]-  
      (9CI)    (CA INDEX NAME)



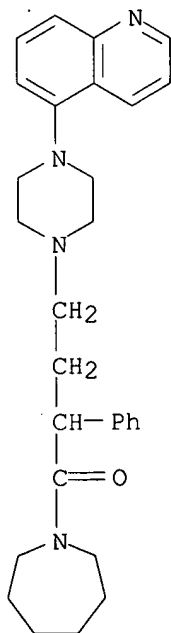
RE.CNT 9      THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9    ANSWER 7 OF 14    CAPLUS    COPYRIGHT 2006 ACS on STN  
AN    1994:579615    CAPLUS  
DN    121:179615  
TI    Preparation of heterocyclylpiperazinylalkylcarboxamides as 5-HT1A  
      antagonists  
IN    Cliffe, Ian Anthony; Brightwell, Christopher Ian; Mansell, Howard Langham;

11/291216

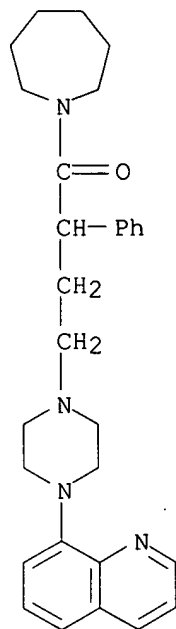
White, Alan Chapman  
PA John Wyeth and Brother Ltd., UK  
SO PCT Int. Appl., 20 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|      | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|------|--|------|----------|-----------------|----------|
| PI   | WO 9415919   | A1   | 19940721 | WO 1993-GB2660  | 19931224 |
|      | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN              |      |          |                 |          |
|      | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |      |          |                 |          |
|      | AU 9458197   | A1   | 19940815 | AU 1994-58197   | 19931224 |
|      | EP 678090  | A1   | 19951025 | EP 1994-903945  | 19931224 |
|      | EP 678090  | B1   | 19981014 |                 |          |
|      | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE  |      |          |                 |          |
|      | JP 08505156  | T2   | 19960604 | JP 1993-515781  | 19931224 |
|      | AT 172193  | E    | 19981015 | AT 1994-903945  | 19931224 |
|      | ES 2123756   | T3   | 19990116 | ES 1994-903945  | 19931224 |
|      | IL 108258  | A1   | 19981206 | IL 1994-108258  | 19940103 |
|      | US 5627177   | A    | 19970506 | US 1995-446601  | 19950524 |
| PRAI | GB 1993-195  | A    | 19930106 |                 |          |
|      | WO 1993-GB2660   | W    | 19931224 |                 |          |
| OS   | MARPAT 121:179615  |      |          |                 |          |
| IT   | 157649-39-3P 157649-40-6P 157649-46-2P 157649-47-3P  |      |          |                 |          |
|      | RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as 5-HT1A antagonist)                                 |      |          |                 |          |
| RN   | 157649-39-3 CAPLUS   |      |          |                 |          |
| CN   | 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(5-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)                    |      |          |                 |          |

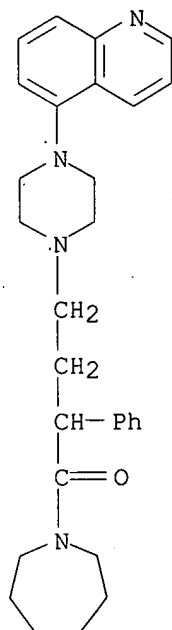


RN 157649-40-6 CAPLUS  
CN 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(8-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

11/291216



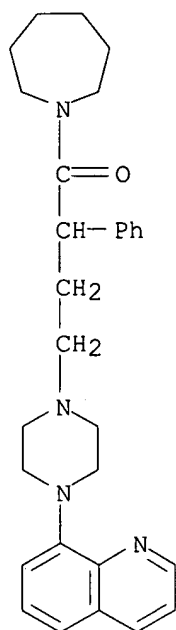
RN 157649-46-2 CAPLUS  
CN 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(5-quinolinyl)-1-piperazinyl]butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157649-47-3 CAPLUS  
CN 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(8-quinolinyl)-1-piperazinyl]butyl]-, hydrochloride (2:11) (9CI) (CA INDEX NAME)

11/291216



● 11/2 HCl

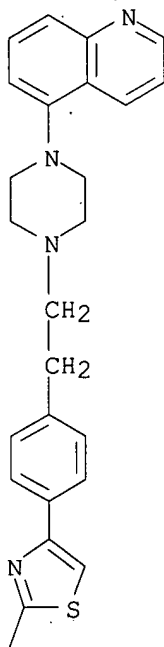
L9 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1989:8234 CAPLUS  
 DN 110:8234  
 TI Preparation of 1-aryl-4-(4-heterocyclylphenyl)piperazines as  
 antipsychotics  
 IN Lowe, John Adams, III  
 PA Pfizer Inc., USA  
 SO Eur. Pat. Appl., 23 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | EP 279598   | A2   | 19880824 | EP 1988-301171  | 19880212 |
|    | EP 279598   | A3   | 19890726 |                 |          |
|    | EP 279598   | B1   | 19930915 |                 |          |
|    | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |          |
|    | US 4891375  | A    | 19900102 | US 1988-143909  | 19880113 |
|    | IN 171858   | A1   | 19930123 | IN 1988-DE64    | 19880127 |
|    | AT 94537  | E    | 19931015 | AT 1988-301171  | 19880212 |
|    | ES 2058249  | T3   | 19941101 | ES 1988-301171  | 19880212 |
|    | JP 63216875   | A2   | 19880909 | JP 1988-32593   | 19880215 |
|    | JP 06099405   | B4   | 19941207 |                 |          |
|    | PL 157118   | B1   | 19920430 | PL 1988-270653  | 19880215 |
|    | CA 1312080  | A1   | 19921229 | CA 1988-558900  | 19880215 |
|    | AU 8811740  | A1   | 19880818 | AU 1988-11740   | 19880216 |
|    | AU 583761   | B2   | 19890504 |                 |          |
|    | DK 8800788  | A    | 19880818 | DK 1988-788     | 19880216 |
|    | DK 170878   | B1   | 19960226 |                 |          |
|    | FI 8800716  | A    | 19880818 | FI 1988-716     | 19880216 |
|    | FI 91752  | B    | 19940429 |                 |          |
|    | FI 91752  | C    | 19940810 |                 |          |
|    | NO 8800667  | A    | 19880818 | NO 1988-667     | 19880216 |
|    | NO 170582   | B    | 19920727 |                 |          |
|    | NO 170582   | C    | 19921104 |                 |          |
|    | DD 272080   | A5   | 19890927 | DD 1988-312959  | 19880216 |

11/291216

|  |    |          |                 |          |
|--|----|----------|-----------------|----------|
| ZA 8801064   | A  | 19890927 | ZA 1988-1064    | 19880216 |
| HU 50334   | A2 | 19900129 | HU 1988-748     | 19880216 |
| HU 207731  | B  | 19930528 |                 |          |
| CS 272783  | B2 | 19910212 | CS 1988-964     | 19880216 |
| SU 1634136   | A3 | 19910307 | SU 1988-4355194 | 19880216 |
| PRAI WO 1987-US340   | A  | 19870217 |                 |          |
| EP 1988-301171   | A  | 19880212 |                 |          |
| OS CASREACT 110:8234; MARPAT 110:8234  |    |          |                 |          |
| IT 117943-36-9P  |    |          |                 |          |
| RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antipsychotic) |    |          |                 |          |
| RN 117943-36-9 CAPLUS  |    |          |                 |          |
| CN 2-Thiazolamine, 4-[4-[2-[4-(5-quinolinyl)-1-piperazinyl]ethyl]phenyl]-(9CI) (CA INDEX NAME)   |    |          |                 |          |

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PAGE 2-A

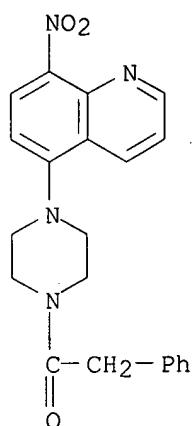
H<sub>2</sub>N

L9 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1988:493064 CAPLUS  
DN 109:93064  
TI Preparation of aminoquinoline derivatives as antiinflammatory agents and  
cardiotonics  
IN Konno, Fujiko; Umehara, Norimitsu; Isomae, Kazuo; Matsuda, Hideaki;  
Katori, Tatsuhiko  
PA S. S. Pharmaceutical Co., Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 7 pp.

11/291216

CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

|      | PATENT NO.   | KIND   | DATE     | APPLICATION NO. | DATE     |
|------|--|--------|----------|-----------------|----------|
| PI   | JP 63054363  | A2     | 19880308 | JP 1986-199458  | 19860826 |
| PRAI | JP 1986-199458   |        | 19860826 |                 |          |
| OS   | MARPAT 109:93064   |        |          |                 |          |
| IT   | 115687-01-9P   |        |          |                 |          |
|      | RL: SPN (Synthetic preparation); PREP (Preparation)<br>(preparation of, as antiinflammatory and cardiotonic) |        |          |                 |          |
| RN   | 115687-01-9  | CAPLUS |          |                 |          |
| CN   | Piperazine, 1-(8-nitro-5-quinolinyl)-4-(phenylacetyl)- (9CI) (CA INDEX NAME)                                 |        |          |                 |          |



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L3 301 S L1 SSS FULL

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